

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
12 February 2004 (12.02.2004)

PCT

(10) International Publication Number
WO 2004/012705 A1

(51) International Patent Classification⁷: **A61K 9/08**,
31/495

(21) International Application Number:
PCT/CH2003/000523

(22) International Filing Date: 30 July 2003 (30.07.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
1357/02 31 July 2002 (31.07.2002) CH

(71) Applicant (for all designated States except US): PHAFAG
AG [LI/LI]; Gewerbeweg 143, FL-9486 Schaanwald (LI).

(72) Inventors; and

(75) Inventors/Applicants (for US only): BIEBER-
SCHULTE, Werner [LI/LI]; Grasparden 505, LI-9492
Eschen (LI). EHRENBURGER, Klaus [AT/AT]; Gün-
thergasse 1, A-1090 Wien (AT).

(74) Agents: HASLER, Erich et al.; Riederer Hasler & Part-
ner, Patentanwälte AG, Kappelestrasse 15, FL-9492 Es-
chengaz (DE).

(81) Designated States (national): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,
MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE,
SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US,
UZ, VC, VN, YU, ZA, ZM, ZW.

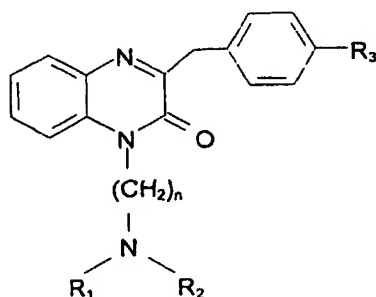
(84) Designated States (regional): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,
SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM,
GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: A PHARMACEUTICAL FORMULATION AND ITS USE IN THE TREATMENT OF INNER EAR DISEASES



(I)

(57) Abstract: A new pharmaceutical formulation, especially for trans-tympanic or intra-transtympanic administration, containing a quinoxalin-2-one compound of the formula (I) in which R1 and R2, independently of one another, are hydrogen, methyl-, ethyl-, propyl-, butyl- or R1 and R2 together are a cyclo-alkyl compound; R3 is methoxy, ethoxy, hydroxy, hydrogen, C1-C4 alkyl or halogen, and n = 1, 2 or 3, or a pharmaceutically compatible salt of the afore-mentioned derivatives, and in addition an effective amount of a permeability accelerator of the afore-mentioned quinoxalin-2-one compound, as well as, if necessary, a pharmaceutically compatible solvent.

WO 2004/012705 A1